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TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
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(54) Title: A NOVEL PROCESS FOR THE PREPARATION OF LINEZOLID AND RELATED COMPOUNDS

(57) Abstract: The present invention provides a novel process for preparation of 5-aminomethyl substituted oxazolidinones, key intermediates for oxazolidinone antibacterials including linezolid. Thus linezolid is prepared by a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin; b) subjecting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline produced above to carbonylation; c) reacting (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone produced above with potassium phthalimide; d) reacting (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide produced above with hydrazine hydrate; and e) reacting S-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced above with acetic anhydride to produce linezolid.

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/IN 2004/000105

A. CLASSIFICATION OF SUBJECT MATTER

IPC⁸: C07D 413/10 (2006.01); C07D 263/20 (2006.01)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC⁸: C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
WPI, EPODOC, PAJ, REGISTRY, CAPLUS, CASREACT

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US5688792 A (BARBACHYN M R et al.) 18 November 1997 (18.11.1997); cited by the applicant. <i>abstrac</i>	1-58

A	US5837870 A (BABACHEN M R et al.) 17 November 1998 (17.11.1998); cited by the applicant. <i>abstract</i>	1-58

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☒ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

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"&" document member of the same patent family

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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INTERNATIONAL SEARCH REPORT

Information on patent family members

Inter alia application No.
PCT/IN 2004/000105

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